

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2005/003691

## A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl<sup>7</sup> C07D401/12, A61K31/496, 31/4985, 31/517, 31/519, 31/5395,  
45/00, 45/06, A61P1/00, 1/04, 3/04, 7/00, 9/10, 9/12, 11/00,  
11/14, 13/00, 13/02, 13/08, 15/00, 17/02, 19/00, 19/02, 21/00,

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl<sup>7</sup> C07D401/12, A61K31/496, 31/4985, 31/517, 31/519, 31/5395,  
45/00, 45/06, A61P1/00, 1/04, 3/04, 7/00, 9/10, 9/12, 11/00,  
11/14, 13/00, 13/02, 13/08, 15/00, 17/02, 19/00, 19/02, 21/00,

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Jitsuyo Shinan Koho	1922-1996	Jitsuyo Shinan Toroku Koho	1996-2005
Kokai Jitsuyo Shinan Koho	1971-2005	Toroku Jitsuyo Shinan Koho	1994-2005

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

BIOSIS (STN), CA (STN), CAplus (STN), EMBASE (STN), MEDLINE (STN),  
REGISTRY (STN)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	WO 02/48117 A1 (FUJISAWA PHARMACEUTICAL CO., LTD.), 20 June, 2002 (20.06.02), Claim 1; compound Nos. (7), (8), (11) & EP 1355888 A1 & JP 2004-515544 A	1-5, 7-16 6
X	MERINO Ishidro, Synthesis and anti-HIV-1 activities of new pyrimido[5,4-b]indoles, I1 Farmaco, 1999, Vol.54, No.4, pages 255 to 264, table 1	1, 7, 9-10, 15
Y	WO 02/30406 A2 (DINAN, T., G.), 18 April, 2002 (18.04.02), Full text & JP 2004-510814 A & EP 1408937 A2	22

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents:	
"A"	document defining the general state of the art which is not considered to be of particular relevance
"E"	earlier application or patent but published on or after the international filing date
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O"	document referring to an oral disclosure, use, exhibition or other means
"P"	document published prior to the international filing date but later than the priority date claimed
"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&"	document member of the same patent family

Date of the actual completion of the international search  
16 May, 2005 (16.05.05)

Date of mailing of the international search report  
31 May, 2005 (31.05.05).

Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Faxsimile No.

Telephone No.

ATTACHMENT "E"

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## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	JP 2001-518495 A (Glaxo Group Ltd.), 16 October, 2001 (16.10.01), Full text & WO 99/17755 A2 & EP 1021174 A2	22
Y	ABE Michikazu, Reduction of wrap restraint stress-induced defecation by MKC-242, a novel benzodioxan derivative, via 5-HT <sub>1A</sub> -receptor agonist action in rats, Jpn.J.Pharmacol., 1998, Vol.77, pages 211 to 217	22

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**Continuation of A. CLASSIFICATION OF SUBJECT MATTER**  
(International Patent Classification (IPC))

Int.Cl<sup>7</sup> 25/00, 25/06, 25/14, 25/16, 25/18, 25/20, 25/22, 25/24, 25/28, 25/30, 25/32, 25/34, 27/02, 27/06, 29/00, 35/00, 37/02, 43/00, C07D401/14, 413/14, 417/12, 417/14, 471/04, 487/04, 491/147, 495/04, 495/14, 498/04, 498/14, 519/00

(According to International Patent Classification (IPC) or to both national classification and IPC)

**Continuation of B. FIELDS SEARCHED**

Minimum documentation searched (International Patent Classification (IPC))

Int.Cl<sup>7</sup> 25/00, 25/06, 25/14, 25/16, 25/18, 25/20, 25/22, 25/24, 25/28, 25/30, 25/32, 25/34, 27/02, 27/06, 29/00, 35/00, 37/02, 43/00, C07D401/14, 413/14, 417/12, 417/14, 471/04, 487/04, 491/147, 495/04, 495/14, 498/04, 498/14, 519/00

Minimum documentation searched (classification system followed by classification symbols)

**Continuation of Box No.III of continuation of first sheet(2)**

Therefore, it is apparent that the inventions of claims 1-16 and 22 do not satisfy the requirement of unity of invention.

**<Subject of search>**

Claim 22 relates to a therapeutic agent for irritable bowel syndrome, comprising as an active ingredient a compound defined by the desired properties "5-HT<sub>1A</sub> agonist" and "5-HT<sub>3</sub> antagonist". Although claim 22 covers all compounds with the above properties, only some of the claimed compounds are disclosed within the meaning of PCT Article 5. Thus, it appears that the support by the disclosure in the description within the meaning of PCT Article 6 is lacking.

Further, with respect to the "5-HT<sub>1A</sub> agonist" and "5-HT<sub>3</sub> antagonist", the scope of compounds with such properties cannot be specified even if technical common knowledge at the filing of this application is taken into account. Therefore, claim 22 also fails to satisfy the requirement of clarity prescribed in PCT Article 6.

Therefore, search with respect to claim 22 has been conducted only on the relationship between 5-HT<sub>1A</sub> agonist and 5-HT<sub>3</sub> antagonist and irritable bowel syndrome and on the therapeutic agent for irritable bowel syndrome comprising a combination of 5-HT<sub>1A</sub> agonist and 5-HT<sub>3</sub> antagonist that has been particularly described in the description.

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**Box No. II      Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)**

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: 17-21

because they relate to subject matter not required to be searched by this Authority, namely:

Claims 17 to 21 are relevant to methods for treatment of the human body by surgery or therapy and diagnostic methods.

2.  Claims Nos.:

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3.  Claims Nos.:

because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box No. III      Observations where unity of invention is lacking (Continuation of item 3 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

Although claims 1-16 claim an invention relating to a pyrimidine derivative of the formula (I) having 5-HT<sub>3</sub> antagonistic activity together with 5-HT<sub>1A</sub> agonistic activity and an invention relating to a 5-HT<sub>3</sub> antagonist simultaneously exhibiting 5-HT<sub>1A</sub> agonistic activity which comprises the derivative and a relevant pharmaceutical composition and treating agent, claim 22 claims an invention relating to combination preparations comprising a combination of two types of drugs, namely, 5-HT<sub>1A</sub> agonist and 5-HT<sub>3</sub> antagonist.

As it cannot be stated that a special technical feature is shared thereby, it does not appear that the group of inventions are linked with each other so as to form a single general inventive concept. (continued to extra sheet)

1.  As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

**Remark on Protest**

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.